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                 Web Page URLs for STN Seminar Schedule - N. America
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                 "Ask CAS" for self-help around the clock
NEWS
      2 Apr 08
NEWS
         Apr 09
                 BEILSTEIN: Reload and Implementation of a New Subject Area
         Apr 09
                 ZDB will be removed from STN
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         Apr 19
                 US Patent Applications available in IFICDB, IFIPAT, and IFIUDB
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                 Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS
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         Apr 22
NEWS
         Apr 22
                 BIOSIS Gene Names now available in TOXCENTER
NEWS 8 Apr 22
                 Federal Research in Progress (FEDRIP) now available
NEWS
     9
         Jun 03
                 New e-mail delivery for search results now available
NEWS 10 Jun 10
                 MEDLINE Reload
NEWS 11 Jun 10
                 PCTFULL has been reloaded
NEWS 12 Jul 02
                 FOREGE no longer contains STANDARDS file segment
NEWS 13 Jul 22
                 USAN to be reloaded July 28, 2002;
                 saved answer sets no longer valid
NEWS 14 Jul 29
                 Enhanced polymer searching in REGISTRY
                NETFIRST to be removed from STN
NEWS 15
        Jul 30
                 CANCERLIT reload
NEWS 16 Aug 08
                 PHARMAMarketLetter(PHARMAML) - new on STN
NEWS 17 Aug 08
NEWS 18 Aug 08 NTIS has been reloaded and enhanced
NEWS 19 Aug 19 Aquatic Toxicity Information Retrieval (AQUIRE)
                 now available on STN
                IFIPAT, IFICDB, and IFIUDB have been reloaded
NEWS 20 Aug 19
NEWS 21 Aug 19
                 The MEDLINE file segment of TOXCENTER has been reloaded
NEWS 22 Aug 26
                 Sequence searching in REGISTRY enhanced
NEWS 23 Sep 03
                 JAPIO has been reloaded and enhanced
NEWS 24 Sep 16 Experimental properties added to the REGISTRY file
NEWS 25
         Sep 16
                Indexing added to some pre-1967 records in CA/CAPLUS
NEWS 26 Sep 16 CA Section Thesaurus available in CAPLUS and CA
NEWS EXPRESS February 1 CURRENT WINDOWS VERSION IS V6.0d,
              CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP),
              AND CURRENT DISCOVER FILE IS DATED 05 FEBRUARY 2002
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FILE 'HOME' ENTERED AT 14:39:27 ON 19 SEP 2002

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

FULL ESTIMATED COST

ENTRY SESSION 0.21 0.21

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STRUCTURE FILE UPDATES: 18 SEP 2002 HIGHEST RN 452896-77-4 DICTIONARY FILE UPDATES: 18 SEP 2002 HIGHEST RN 452896-77-4

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

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Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> Uploading 09918039.str

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR

G1 C,N

Structure attributes must be viewed using STN Express query preparation.

09/ 918,039

=> s 11

SAMPLE SEARCH INITIATED 14:40:00 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 0 TO ITERATE

0 ITERATIONS 0 ANSWERS 100.0% PROCESSED

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 0 TO PROJECTED ANSWERS: 0 TO

0 SEA SSS SAM L1 L2

=> s l1 ful

FULL SEARCH INITIATED 14:40:10 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 0 TO ITERATE

0 ITERATIONS 100.0% PROCESSED 0 ANSWERS

SEARCH TIME: 00.00.01

0 SEA SSS FUL L1 L3

=> s pyrrolo and pyridin

159029 PYRROLO

715262 PYRIDIN

11495 PYRROLO AND PYRIDIN L4

Uploading 09918039.str

L5 STRUCTURE UPLOADED

SAMPLE SEARCH INITIATED 14:41:40 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 725 TO ITERATE

100.0% PROCESSED 725 ITERATIONS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

50 ANSWERS

PROJECTED ITERATIONS: 12885 TO 16115

PROJECTED ANSWERS: 3421 TO 5179

50 SEA SSS SAM L5

=> d 15

L5 HAS NO ANSWERS

STR

G1 C,N

Structure attributes must be viewed using STN Express query preparation.

=> d his

(FILE 'HOME' ENTERED AT 14:39:27 ON 19 SEP 2002)

FILE 'REGISTRY' ENTERED AT 14:39:33 ON 19 SEP 2002

L1 STRUCTURE UPLOADED

L2 0 S L1

L3 0 S L1 FUL

L4 11495 S PYRROLO AND PYRIDIN

L5 STRUCTURE UPLOADED

L6 50 S L5

=> s 15 sub=14 ful

FULL SUBSET SEARCH INITIATED 14:42:03 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 85 TO ITERATE

100.0% PROCESSED 85 ITERATIONS

64 ANSWERS

SEARCH TIME: 00.00.01

L7 64 SEA SUB=L4 SSS FUL L5

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE TOTAL

ENTRY SESSION 289.32 289.53

FULL ESTIMATED COST

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=> s 17 L8 7 L7

=> d l8 1- ibib abs hitstr
YOU HAVE REQUESTED DATA FROM 7 ANSWERS - CONTINUE? Y/(N):y

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ANSWER 1 OF 7 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER:
                                2001:630893 CAPLUS
                                 135:195505
DOCUMENT NUMBER:
TITLE:
                                 Preparation of azaheterocyclic sulfonamides as factor
                                Xa inhibitors
                                 Choi-Sledeski, Yong Mi; Pauls, Heinz W.; Barton,/
INVENTOR(S):
                                 Jeffrey N.; Ewing, William R.; Green, Daniel M.;
                                 Becker, Michael R.; Gong, Yong; Levell, Julian
                                Aventis Pharma Deutschland Gmbh, Germany
PATENT ASSIGNEE(S):
                                U.S., 96 pp., Cont.-in-part of U.S. Ser. No. 90,492.
SOURCE:
                                                                                                   G. Lanks
                                 CODEN: USXXAM
DOCUMENT TYPE:
                                 Patent
LANGUAGE:
                                 English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
      PATENT NO.
                            KIND DATE
                                                        APPLICATION NO.
                                                                               DATE
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      US 6281227
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2002013310 A1 20020131 US 2001-918039 20010730

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PRIORITY APPLN. INFO.:
                                                    US 1996-33159P
                                                    WO 1997-US22406 A2 19971203
                                                    US 1998-90492
                                                                          A2 19980603
                                                    WO 1999-US12312
                                                                          A2 19990603
                                                    US 1999-453307
                                                                          A 19991202
OTHER SOURCE(S):
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MARPAT 135:195505

GI

AB Title compds. [I; X = (CHR3)m; R = (un) substituted heteroaryl; R1, R2 = H, (un) substituted alkyl, alkenyl, aralkyl; R3 = H, OH, (un) substituted alkyl, aryl, heteroaryl; R4 = H, (un) substituted alkyl, aryl, aralkyl; R5, R6 = H; R5R6 = O; R7, R8 = H, (un) substituted alkyl, aryl, aralkyl, heteroaryl; R7R8 = O; R3R7 = alkylene; m = 0-3] were prepd. Thus, title compd. II was prepd. from 3-acetamido-4-methylbenzaldehyde, malonic acid, and 7-methoxy-2-naphthalenesulfonyl chloride in 10 steps. II had a Ki of 80 nM for inhibition of factor Xa.

IT 209285-80-3P

> RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of azaheterocyclic sulfonamides as inhibitors of factor Xa)

RN209285-80-3 CAPLUS

Benzo[b]thiophene-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-CN b]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 209286-49-7P 209286-51-1P 209286-82-8P 209286-84-0P 209286-89-5P 209286-91-9P 209286-92-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of azaheterocyclic sulfonamides as inhibitors of factor Xa)

RN 209286-49-7 CAPLUS

CN Carbamic acid, [(3S)-2-oxo-1-[2-(1H-pyrrolo[3,2-c]pyridin-1-yl)ethyl]-3pyrrolidinyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 209286-51-1 CAPLUS

CN 2-Pyrrolidinone, 3-amino-1-[2-(1H-pyrrolo[3,2-c]pyridin-1-yl)ethyl]-, (3S)-, monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 209286-50-0 CMF C13 H16 N4 O

Absolute stereochemistry.

CM 2

CRN 64-19-7 CMF C2 H4 O2

RN 209286-82-8 CAPLUS

CN Carbamic acid, [(3S)-1-[[4-chloro-1-(phenylsulfonyl)-1H-pyrrolo[3,2-c]pyridin-2-yl]methyl]-2-oxo-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 209286-84-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 6-chloro-N-[(3S)-1-[[4-chloro-1-(phenylsulfonyl)-1H-pyrrolo[3,2-c]pyridin-2-yl]methyl]-2-oxo-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 209286-89-5 CAPLUS

CN Carbamic acid, [(3S)-1-[[5-chloro-1-(phenylsulfonyl)-1H-pyrrolo[3,2-b]pyridin-2-yl]methyl]-2-oxo-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 209286-91-9 CAPLUS

CN 2-Naphthalenesulfonamide, N-[(3S)-1-[[5-chloro-1-(phenylsulfonyl)-1H-pyrrolo[3,2-b]pyridin-2-yl]methyl]-2-oxo-3-pyrrolidinyl]-7-methoxy- (9CI) (CA INDEX NAME)

RN 209286-92-0 CAPLUS

CN 2-Naphthalenesulfonamide, N-[(3S)-1-[(5-chloro-1H-pyrrolo[3,2-b]pyridin-2-yl)methyl]-2-oxo-3-pyrrolidinyl]-7-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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IT
     209285-47-2P 209285-74-5P 209285-75-6P
     209285-77-8P 209285-81-4P 209285-82-5P
     209285-83-6P 209285-84-7P 209285-85-8P
     251936-19-3P 251936-23-9P 251937-72-1P
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     251938-35-9P 251938-38-2P 251938-39-3P
     251938-46-2P
    RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
     study); PREP (Preparation); USES (Uses)
        (prepn. of azaheterocyclic sulfonamides as inhibitors of factor Xa)
ВN
     209285-47-2 CAPLUS
     2-Naphthalenesulfonamide, 7-methoxy-N-[(3S)-2-oxo-1-[2-(1H-pyrrolo[3,2-
CN
     c]pyridin-1-yl)ethyl]-3-pyrrolidinyl]-, mono(trifluoroacetate) (9CI) (CA
     INDEX NAME)
```

CM 1

CRN 209285-46-1 CMF C24 H24 N4 O4 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 209285-74-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 6-chloro-N-[(3S)-1-[(4-chloro-1H-pyrrolo[3,2-c]pyridin-2-yl)methyl]-2-oxo-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 209285-75-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

09/ 918,039

RN 209285-77-8 CAPLUS

CN 2-Naphthalenesulfonamide, 7-methoxy-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 209285-76-7 CMF C23 H22 N4 O4 S

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 209285-81-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

09/ 918,039

CRN 209285-80-3 CMF C20 H17 Cl N4 O3 S2

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 209285-82-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

RN 209285-83-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 209285-82-5 CMF C20 H17 Cl N4 O3 S2

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 209285-84-7 CAPLUS

CN Thieno[3,2-b]pyridine-2-sulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

RN 209285-85-8 CAPLUS

CN Thieno[3,2-b]pyridine-2-sulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 209285-84-7

CMF C19 H17 N5 O3 S2

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 251936-19-3 CAPLUS

CN [2,2'-Bithiophene]-5-sulfonamide, 5'-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251936-23-9 CAPLUS

CN Ethenesulfonamide, 2-(5-chloro-2-thienyl)-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 251937-72-1 CAPLUS

CN Thieno[3,2-b]pyridine-2-sulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

RN 251937-73-2 CAPLUS

CN 2-Thiophenesulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]-5-(2-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-74-3 CAPLUS

CN Thieno[3,2-b]pyridine-2-sulfonamide, 5-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-75-4 CAPLUS

CN Thieno[2,3-b]pyridine-2-sulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

RN 251937-76-5 CAPLUS

CN 2-Thiophenesulfonamide, 5-(3-isoxazolyl)-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-77-6 CAPLUS

CN Thieno[2,3-b]pyridine-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-78-7 CAPLUS

CN [2,2'-Bithiophene]-5-sulfonamide, 5'-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-79-8 CAPLUS

CN Benzenesulfonamide, 4-(1,1-dimethylethyl)-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-80-1 CAPLUS

CN Thieno[3,2-c]pyridine-2-sulfonamide, 4-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-81-2 CAPLUS

CN 2-Thiophenesulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 251937-82-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-83-4 CAPLUS

CN Thieno[2,3-c]pyridine-2-sulfonamide, 7-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

09/ 918,039

RN 251937-84-5 CAPLUS

CN 1H-Benzimidazole-2-sulfonamide, 5-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-85-6 CAPLUS

CN Thieno[3,2-b]pyridine-2-sulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-86-7 CAPLUS

CN 2-Thiophenesulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-5-(2-pyridinyl)- (9CI) (CA INDEX NAME)

RN 251937-87-8 CAPLUS

CN Thieno[3,2-b]pyridine-2-sulfonamide, 5-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-88-9 CAPLUS

CN Thieno[2,3-b]pyridine-2-sulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-89-0 CAPLUS

CN 2-Thiophenesulfonamide, 5-(3-isoxazolyl)-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-90-3 CAPLUS

CN Thieno[2,3-b]pyridine-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-91-4 CAPLUS

CN Benzenesulfonamide, 4-(1,1-dimethylethyl)-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-92-5 CAPLUS

CN Thieno[3,2-c]pyridine-2-sulfonamide, 4-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

RN 251937-93-6 CAPLUS

CN 2-Thiophenesulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-94-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-95-8 CAPLUS

09/ 918,039

CN Thieno[2,3-c]pyridine-2-sulfonamide, 7-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-96-9 CAPLUS

CN 1H-Benzimidazole-2-sulfonamide, 5-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-97-0 CAPLUS

CN 2-Thiophenesulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-5-(2-pyridinyl)- (9CI) (CA INDEX NAME)

RN 251937-98-1 CAPLUS

CN Thieno[3,2-b]pyridine-2-sulfonamide, 5-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-99-2 CAPLUS

CN Thieno[2,3-b]pyridine-2-sulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251938-00-8 CAPLUS

CN

2-Thiophenesulfonamide, 5-(3-isoxazolyl)-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251938-01-9 CAPLUS

CN Thieno[2,3-b]pyridine-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251938-02-0 CAPLUS

CN [2,2'-Bithiophene]-5-sulfonamide, 5'-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251938-03-1 CAPLUS

CN Benzenesulfonamide, 4-(1,1-dimethylethyl)-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

RN 251938-04-2 CAPLUS

CN Thieno[3,2-c]pyridine-2-sulfonamide, 4-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251938-05-3 CAPLUS

CN 2-Thiophenesulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251938-06-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

RN 251938-07-5 CAPLUS

CN Thieno[2,3-c]pyridine-2-sulfonamide, 7-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251938-08-6 CAPLUS

CN 1H-Benzimidazole-2-sulfonamide, 5-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

09/ 918,039

RN 251938-35-9 CAPLUS

CN 2-Pyrrolidinone, 1-[(4-amino-7-quinazolinyl)methyl]-3-[(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)amino]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251938-38-2 CAPLUS

CN Ethenesulfonamide, 2-(5-chloro-2-thienyl)-N-[(3R)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 251938-39-3 CAPLUS

CN Glycine, N-[[2-(5-chloro-2-thienyl)ethenyl]sulfonyl]-N-[(3R)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 251938-46-2 CAPLUS

CN Thieno[3,2-b]pyridine-2-sulfonamide, N-[(3R)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 251938-45-1 CMF C19 H17 N5 O3 S2

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 2001:416755 CAPLUS

DOCUMENT NUMBER:

135:46082

TITLE:

Preparation of N-(oxopyrrolidinyl)naphthalenesulfonami

des and analogs as factor Xa inhibitors

INVENTOR(S):

Choi-Sledeski, Yong Mi; Pauls, Heinz W.; Barton, Jeffrey N.; Ewing, William R.; Green, Daniel M.; Becker, Michael R.; Gong, Yong; Levell, Julian

Becker, Michael R.; Gong, Yong; Levell, Juliar Aventis Pharma Deutschland G.m.b.H., Germany

PATENT ASSIGNEE(S):

PCT Int. Appl., 106 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE --------------WO 2000-EP11577 20001121 WO 2001039759 A2 20010607 WO 2001039759 Α3 20020117 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG US 1999-453307 19991202 US 6281227 В1 20010828 A 19991202 PRIORITY APPLN. INFO.: US 1999-453307 US 1996-33159P P 19961213 WO 1997-US22406 A2 19971203 US 1998-90492 A2 19980603 WO 1999-US12312 A2 19990603

OTHER SOURCE(S):

MARPAT 135:46082

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Title compds. [(un)substituted I; R = N-contg. heteroaryl; R1 = H, (acyl)alkyl, (hetero)arylalkyl, etc.; R2 = H, (hetero)arylalkyl, carbamoylalkyl, etc.; Z = (NH- or NHCO-interrupted or -terminated) alkylene; Z1 = (CH2)0-3] were prepd. Thus, I (R1 = H, Z1 = CH2)(II; R = H, R2 = CO2cMe3, Z = bond) was N-alkylated by 7-bromomethyl-1-chloroisoquinoline (prepn. each given) and the deprotected product N-acylated by 7-methoxynaphthalene-2-sulfonyl chloride (prepn. given) to give, in 2 addnl. steps, II (R = 1-amino-7-isoquinolyl, R2 = 7-methoxynaphthalene-2-sulfonyl, Z = CH2). Data for biol. activity of I were given.

## IT 209285-47-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of N-(oxopyrrolidinyl)naphthalenesulfonamides and analogs as factor Xa inhibitors)

09/ 918,039

RN 209285-47-2 CAPLUS

CN 2-Naphthalenesulfonamide, 7-methoxy-N-[(3S)-2-oxo-1-[2-(1H-pyrrolo[3,2-c]pyridin-1-yl)ethyl]-3-pyrrolidinyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 209285-46-1 CMF C24 H24 N4 O4 S

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

IT 209286-49-7P 209286-50-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of N-(oxopyrrolidinyl)naphthalenesulfonamides and analogs as factor Xa inhibitors)

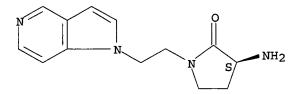
RN 209286-49-7 CAPLUS

CN Carbamic acid, [(3S)-2-oxo-1-[2-(1H-pyrrolo[3,2-c]pyridin-1-yl)ethyl]-3-pyrrolidinyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 209286-50-0 CAPLUS

CN 2-Pyrrolidinone, 3-amino-1-[2-(1H-pyrrolo[3,2-c]pyridin-1-yl)ethyl]-, (3S)- (9CI) (CA INDEX NAME)



L8 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:543073 CAPLUS

DOCUMENT NUMBER: 133:261091

TITLE: Crystal Structures of Human Factor Xa Complexed with

Potent Inhibitors

AUTHOR(S): Maignan, Sebastien; Gullloteau, Jean-Pierre; Pouzieux,

Stephanie; Choi-Sledeski, Yong Mi; Becker, Michael R.; Klein, Scott I.; Ewing, William R.; Pauls, Henry W.;

Spada, Alfred P.; Mikol, Vincent

CORPORATE SOURCE: Department of Structural Biology, Aventis Pharma,

Vitry/Seine, F-94403, Fr.

SOURCE: Journal of Medicinal Chemistry (2000), 43(17),

3226-3232

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

Involved in the coagulation cascade, factor Xa (FXa) is a serine protease AΒ which has received great interest as a potential target for the development of new antithrombotics. Although there is a great wealth of structural data on thrombin complexes, few structures of ligand/FXa complexes have been reported, presumably because of the difficulty in growing crystals. Reproducible crystn. conditions for human des-Gla1-45 coagulation FXa have been found. This has led to an improvement in the diffraction quality of the crystals (about 2.1 .ANG.) when compared to the previously reported forms (2.3-2.8 .ANG.) thus providing a suitable platform for a structure-based drug design approach. A series of crystal structures of noncovalent inhibitors complexed with FXa have been detd., three of which are presented herein. These include compds. contq. the benzamidine moiety and surrogates of the basic group. The benzamidine-contg. compd. binds in a canonical fashion typical of synthetic serine protease inhibitors. On the contrary, mols. that contain surrogates of the benzamidine group do not make direct hydrogen-bonding interactions with the carboxylate of Asp189 at the bottom of the S1 pocket. The structural data provide a likely explanation for the specificity of these inhibitors and a great aid in the design of bioavailable potent FXa inhibitors.

IT 209285-84-7, RPR 208707

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)

(crystal structures of human factor Xa complexed with potent inhibitors)

RN 209285-84-7 CAPLUS

CN Thieno[3,2-b]pyridine-2-sulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 2000:379659 CAPLUS

DOCUMENT NUMBER: 133:144473

TITLE: Solid-phase parallel synthesis of azarene

pyrrolidinones as factor Xa inhibitors

AUTHOR(S): Gong, Yong; Becker, Michael; Choi-Sledeski, Yong Mi;

Davis, Roderick S.; Salvino, Joseph M.; Chu, Valeria;

II

Brown, Karen D.; Pauls, Henry W.

CORPORATE SOURCE: Department of Medicinal Chemistry, Rhone-Powlence

Rorer, Collegeville, PA, 19426, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2000

10(10), 1033-1036

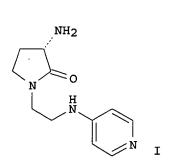
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

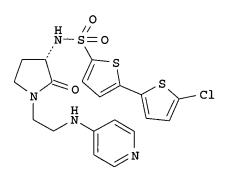
DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 133:144473

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AB A focused library (4.times.14) prepd. from 4-aminopyridine and 4-, 5-, and 6-azoindole templates was synthesized using 14 polymer-supported 4-amido-2,3,5,6-tetrafluorophenyl (TFP) sulfonate esters and heteroarylmethyl-substituted arylsulfonylamino pyrrolidinones such as I to give a library of factor Xa inhibitors such as II. Several compds. were identified as factor Xa inhibitors (IC50.ltoreq.0.1 .mu.M) helping to

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establish the SAR among these four series of azarene pyrrolidinones.
     E.g., factor Xa was inhibited by II with a Ki of 15 nM.
     209285-75-6P 209285-80-3P 209285-82-5P
     209285-84-7P 251936-19-3P 251937-72-1P
     251937-73-2P 251937-74-3P 251937-75-4P
     251937-76-5P 251937-77-6P 251937-78-7P
     251937-79-8P 251937-80-1P 251937-81-2P
     251937-82-3P 251937-83-4P 251937-84-5P
     251937-85-6P 251937-86-7P 251937-87-8P
     251937-88-9P 251937-89-0P 251937-90-3P
     251937-91-4P 251937-92-5P 251937-93-6P
     251937-94-7P 251937-95-8P 251937-96-9P
     251937-97-0P 251937-98-1P 251937-99-2P
     251938-00-8P 251938-01-9P 251938-02-0P
     251938-03-1P 251938-04-2P 251938-05-3P
     251938-06-4P 251938-07-5P 251938-08-6P
    RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); BIOL (Biological
     study); PREP (Preparation)
        (solid-phase prepn. of a library of heteroarylmethyl arylsulfonylamino
       pyrrolidinones as factor Xa inhibitors)
RN
     209285-75-6 CAPLUS
    Benzo[b]thiophene-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-
CN
     c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)
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Absolute stereochemistry.

RN 209285-80-3 CAPLUS
CN Benzo[b]thiophene-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

RN 209285-82-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 209285-84-7 CAPLUS

CN Thieno[3,2-b]pyridine-2-sulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

RN 251936-19-3 CAPLUS

CN [2,2'-Bithiophene]-5-sulfonamide, 5'-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-72-1 CAPLUS

CN Thieno[3,2-b]pyridine-2-sulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-73-2 CAPLUS

CN 2-Thiophenesulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]-5-(2-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-74-3 CAPLUS

CN Thieno[3,2-b]pyridine-2-sulfonamide, 5-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-75-4 CAPLUS

CN Thieno[2,3-b]pyridine-2-sulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

CN 2-Thiophenesulfonamide, 5-(3-isoxazolyl)-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-77-6 CAPLUS

CN Thieno[2,3-b]pyridine-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-78-7 CAPLUS

CN [2,2'-Bithiophene]-5-sulfonamide, 5'-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-79-8 CAPLUS

CN Benzenesulfonamide, 4-(1,1-dimethylethyl)-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

RN 251937-80-1 CAPLUS

CN Thieno[3,2-c]pyridine-2-sulfonamide, 4-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-81-2 CAPLUS

CN 2-Thiophenesulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-82-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

RN 251937-83-4 CAPLUS
CN Thieno[2,3-c]pyridine-2-sulfonamide, 7-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-84-5 CAPLUS

CN 1H-Benzimidazole-2-sulfonamide, 5-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

RN 251937-85-6 CAPLUS

CN Thieno[3,2-b]pyridine-2-sulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-86-7 CAPLUS

CN 2-Thiophenesulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-5-(2-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-87-8 CAPLUS

CN Thieno[3,2-b]pyridine-2-sulfonamide, 5-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-88-9 CAPLUS
CN Thieno[2,3-b]pyridine-2-sulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-89-0 CAPLUS

CN 2-Thiophenesulfonamide, 5-(3-isoxazolyl)-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

CN Thieno[2,3-b]pyridine-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-91-4 CAPLUS

CN Benzenesulfonamide, 4-(1,1-dimethylethyl)-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-92-5 CAPLUS

CN Thieno[3,2-c]pyridine-2-sulfonamide, 4-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

RN 251937-93-6 CAPLUS

CN 2-Thiophenesulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-94-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-95-8 CAPLUS

CN Thieno[2,3-c]pyridine-2-sulfonamide, 7-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-96-9 CAPLUS

CN 1H-Benzimidazole-2-sulfonamide, 5-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-97-0 CAPLUS

CN 2-Thiophenesulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-5-(2-pyridinyl)- (9CI) (CA INDEX NAME)

RN 251937-98-1 CAPLUS

CN Thieno[3,2-b]pyridine-2-sulfonamide, 5-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-99-2 CAPLUS

CN Thieno[2,3-b]pyridine-2-sulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251938-00-8 CAPLUS

CN

2-Thiophenesulfonamide, 5-(3-isoxazolyl)-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251938-01-9 CAPLUS

CN Thieno[2,3-b]pyridine-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251938-02-0 CAPLUS

CN [2,2'-Bithiophene]-5-sulfonamide, 5'-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251938-03-1 CAPLUS

CN Benzenesulfonamide, 4-(1,1-dimethylethyl)-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

RN 251938-04-2 CAPLUS

CN Thieno[3,2-c]pyridine-2-sulfonamide, 4-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251938-05-3 CAPLUS

CN 2-Thiophenesulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251938-06-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

RN 251938-07-5 CAPLUS
CN Thieno[2,3-c]pyridine-2-sulfonamide, 7-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251938-08-6 CAPLUS
CN 1H-Benzimidazole-2-sulfonamide, 5-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS 19 REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 5 OF 7 CAPLUS COPYRIGHT 2002 ACS L8

ACCESSION NUMBER:

1999:819359 CAPLUS

DOCUMENT NUMBER:

132:64065

TITLE:

Preparation of fluorobenzoylated resins as solid phase

synthesis supports

INVENTOR(S):

Salvino, Joseph M.; Groneberg, Robert D.; Airey, John

E.; Poli, Gregory B.; McGeehan, Gerard M.;

Labaudiniere, Richard F.; Clerc, Francois-frederic;

Bezard, Daniel Noel Andre

PATENT ASSIGNEE(S):

Rhone-Poulenc Rorer Pharmaceuticals Inc., USA

SOURCE:

PCT Int. Appl., 113 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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PATENT NO.
                                  KIND DATE
                                                                  APPLICATION NO. DATE
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                                                                   -----
        WO 9967228
                                  A1
                                           19991229
                                                                  WO 1999-US14252 19990623
             W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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        AU 9947128
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                                   A1
                                                                                              19990623
        BR 9911487
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                                            20010411
                                                                   EP 1999-930628
                                                                                              19990623
                    AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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        NO 2000006662
                                   Α
                                            20001227
                                                                   NO 2000-6662
                                                                                              20001227
PRIORITY APPLN. INFO.:
                                                               US 1998-90558P
                                                                                         P
                                                                                              19980624
                                                               WO 1999-US14252 W 19990623
OTHER SOURCE(S): CASREACT 132:64065
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GΙ

AB Title resins [I; R = resin; R1-R3 = H or ring system substituent (sic); R4 = F, OH, alkanoyl- or aroyloxy, SO3H, etc.; Z = Z1SO2, Z1NHSO2, Z1CH2CO, Z1Z2, etc.; Z1 = bond, (un)substituted phenylene, -alkylene, etc.; Z2 = (un) substituted phenylene] were prepd. The F atom ortho to the loading site permits the abs. loading of the resin to be detd. using 19F NMR. TΤ 209285-75-6P 209285-80-3P 209285-82-5P 209285-84-7P 251936-19-3P 251937-72-1P 251937-73-2P 251937-74-3P 251937-75-4P 251937-76-5P 251937-77-6P 251937-78-7P 251937-79-8P 251937-80-1P 251937-81-2P 251937-82-3P 251937-83-4P 251937-84-5P 251937-85-6P 251937-86-7P 251937-87-8P 251937-88-9P 251937-89-0P 251937-90-3P 251937-91-4P 251937-92-5P 251937-93-6P 251937-94-7P 251937-95-8P 251937-96-9P 251937-97-0P 251937-98-1P 251937-99-2P 251938-00-8P 251938-01-9P 251938-02-0P 251938-03-1P 251938-04-2P 251938-05-3P 251938-06-4P 251938-07-5P 251938-08-6P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of fluorobenzoylated resins as solid phase synthesis supports) ВИ 209285-75-6 CAPLUS Benzo[b]thiophene-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-CN

c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 209285-80-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

RN 209285-82-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 209285-84-7 CAPLUS

CN Thieno[3,2-b]pyridine-2-sulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

RN 251936-19-3 CAPLUS

CN [2,2'-Bithiophene]-5-sulfonamide, 5'-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-72-1 CAPLUS

CN Thieno[3,2-b]pyridine-2-sulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-73-2 CAPLUS

CN 2-Thiophenesulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]-5-(2-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-74-3 CAPLUS

CN Thieno[3,2-b]pyridine-2-sulfonamide, 5-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-75-4 CAPLUS

CN Thieno[2,3-b]pyridine-2-sulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

CN 2-Thiophenesulfonamide, 5-(3-isoxazolyl)-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-77-6 CAPLUS

CN Thieno[2,3-b]pyridine-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-78-7 CAPLUS

CN [2,2'-Bithiophene]-5-sulfonamide, 5'-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-79-8 CAPLUS

CN Benzenesulfonamide, 4-(1,1-dimethylethyl)-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

RN 251937-80-1 CAPLUS

CN Thieno[3,2-c]pyridine-2-sulfonamide, 4-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-81-2 CAPLUS

CN 2-Thiophenesulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-82-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

RN 251937-83-4 CAPLUS
CN Thieno[2,3-c]pyridine-2-sulfonamide, 7-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-84-5 CAPLUS
CN 1H-Benzimidazole-2-sulfonamide, 5-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

RN 251937-85-6 CAPLUS

CN Thieno[3,2-b]pyridine-2-sulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-86-7 CAPLUS

CN 2-Thiophenesulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-5-(2-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-87-8 CAPLUS

CN Thieno[3,2-b]pyridine-2-sulfonamide, 5-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-88-9 CAPLUS

CN Thieno[2,3-b]pyridine-2-sulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-89-0 CAPLUS

CN 2-Thiophenesulfonamide, 5-(3-isoxazolyl)-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

CN Thieno[2,3-b]pyridine-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-91-4 CAPLUS

CN Benzenesulfonamide, 4-(1,1-dimethylethyl)-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-92-5 CAPLUS

CN Thieno[3,2-c]pyridine-2-sulfonamide, 4-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

RN 251937-93-6 CAPLUS

CN 2-Thiophenesulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-94-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-95-8 CAPLUS

CN Thieno[2,3-c]pyridine-2-sulfonamide, 7-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-96-9 CAPLUS

CN 1H-Benzimidazole-2-sulfonamide, 5-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-97-0 CAPLUS

CN 2-Thiophenesulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-5-(2-pyridinyl)- (9CI) (CA INDEX NAME)

RN 251937-98-1 CAPLUS
CN Thieno[3,2-b]pyridine-2-sulfonamide, 5-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-99-2 CAPLUS
CN Thieno[2,3-b]pyridine-2-sulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251938-00-8 CAPLUS
CN 2-Thiophenesulfonamide, 5-(3-isoxazolyl)-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251938-01-9 CAPLUS

CN Thieno[2,3-b]pyridine-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251938-02-0 CAPLUS

CN [2,2'-Bithiophene]-5-sulfonamide, 5'-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251938-03-1 CAPLUS

CN Benzenesulfonamide, 4-(1,1-dimethylethyl)-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

RN 251938-04-2 CAPLUS

CN Thieno[3,2-c]pyridine-2-sulfonamide, 4-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251938-05-3 CAPLUS

CN 2-Thiophenesulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251938-06-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

RN 251938-07-5 CAPLUS

CN Thieno[2,3-c]pyridine-2-sulfonamide, 7-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251938-08-6 CAPLUS

CN 1H-Benzimidazole-2-sulfonamide, 5-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:

1999:784099 CAPLUS

DOCUMENT NUMBER:

132:22881

TITLE:

Sulfonic acid or sulfonylamino N-

(heteroaralkyl) azaheterocyclic amides as inhibitors of

factor Xa

INVENTOR(S):

Choi-Sledeski, Yong Mi; Pauls, Heinz W.; Barton,

Jeffrey N.; Ewing, William R.; Green, Daniel M.;

Becker, Michael R.; Gong, Yong; Levell, Julian Rhone-Poulenc Rorer Pharmaceuticals Inc., USA

PATENT ASSIGNEE(S): SOURCE:

PCT Int. Appl., 202 pp.

SOURCE

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA'	PATENT NO.				ND 	DATE			APPLICATION NO.					DATE				
WO	9962904			A1		19991209			WO 1999-US12312 19990603									
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							AU 1999-43298 19990603											
EP					2001	0328		EP 1999-955266										
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		SI,																
	BR 9910899																	
	JP 2002517393					20020618												
	US 6281227							US 1999-453307						19991202				
	NO 2000005912 A							NO 2000-5912										
US						2002	0131		U	S 20	01-9	1803	9	20010	0730			
PRIORITY	RIORITY APPLN. INFO.:							τ	JS 19	998-	9049	2	A2	19980	0603			
								Ţ	JS 19	996-3	3315	9P	P	1996	1213			
								7	WO 19	997-1	US224	106	A2	1997	1203			

WO 1999-US12312 W 19990603 A3 19991202 US 1999-453307

OTHER SOURCE(S): GΙ

MARPAT 132:22881

NHSO<sub>2</sub>

NHSO<sub>2</sub>

OMe

$$R^4$$
 $R^4$ 
 $R^5$ 
 $R^8$ 
 $R^6$ 
 $R^8$ 
 $R^6$ 
 $R^6$ 

Aza heterocycles I [X = (CHR3)m; R = (un)substituted heteroaryl; R1, R2 = AB H, (un) substituted alkyl, alkenyl, aralkyl; R3 = H, OH, (un) substituted alkyl, aryl, heteroaryl; R4 = H, (un) substituted alkyl, aryl, aralkyl; R5, R6 = H; R5R6 = O; R7, R8 = H, (un) substituted alkyl, aryl, aralkyl, heteroaryl; R7R8 = O; R3R7 = alkylene; m = 0-3] were prepd. I are inhibitors of the activity of Factor Xa. Thus, the amide II was prepd. from 3-acetamido-4-methylbenzaldehyde, malonic acid, and 7-methoxy-2-naphthalenesulfonyl chloride in 10 steps. II had a Ki of 80 nM for inhibition of factor Xa.

209285-80-3P ΙT

> RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
> BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of azaheterocyclic sulfonamides as inhibitors of factor Xa)

RN209285-80-3 CAPLUS

Benzo[b]thiophene-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-CNb]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

209286-49-7P 209286-51-1P 209286-82-8P IT 209286-84-0P 209286-89-5P 209286-91-9P 209286-92-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of azaheterocyclic sulfonamides as inhibitors of factor Xa)

RN 209286-49-7 CAPLUS

CN Carbamic acid, [(3S)-2-oxo-1-[2-(1H-pyrrolo[3,2-c]pyridin-1-yl)ethyl]-3-pyrrolidinyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 209286-51-1 CAPLUS

CN 2-Pyrrolidinone, 3-amino-1-[2-(1H-pyrrolo[3,2-c]pyridin-1-yl)ethyl]-, (3S)-, monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 209286-50-0 CMF C13 H16 N4 O

Absolute stereochemistry.

CM 2

CRN 64-19-7 CMF C2 H4 O2

RN 209286-82-8 CAPLUS

CN Carbamic acid, [(3S)-1-[[4-chloro-1-(phenylsulfonyl)-1H-pyrrolo[3,2-c]pyridin-2-yl]methyl]-2-oxo-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 209286-84-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 6-chloro-N-[(3S)-1-[[4-chloro-1-(phenylsulfonyl)-1H-pyrrolo[3,2-c]pyridin-2-yl]methyl]-2-oxo-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 209286-89-5 CAPLUS

CN Carbamic acid, [(3S)-1-[[5-chloro-1-(phenylsulfonyl)-1H-pyrrolo[3,2-b]pyridin-2-yl]methyl]-2-oxo-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 209286-91-9 CAPLUS

CN 2-Naphthalenesulfonamide, N-[(3S)-1-[[5-chloro-1-(phenylsulfonyl)-1H-pyrrolo[3,2-b]pyridin-2-yl]methyl]-2-oxo-3-pyrrolidinyl]-7-methoxy- (9CI)

(CA INDEX NAME)

Absolute stereochemistry.

209286-92-0 CAPLUS RN

CN 2-Naphthalenesulfonamide, N-[(3S)-1-[(5-chloro-1H-pyrrolo[3,2-b]pyridin-2y1) methy1] -2-oxo-3-pyrrolidiny1] -7-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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IT
     209285-47-2P 209285-74-5P 209285-75-6P
     209285-77-8P 209285-81-4P 209285-82-5P
     209285-83-6P 209285-84-7P 209285-85-8P
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    251938-46-2P
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     study); PREP (Preparation); USES (Uses)
        (prepn. of azaheterocyclic sulfonamides as inhibitors of factor Xa)
RN
    209285-47-2 CAPLUS
    2-Naphthalenesulfonamide, 7-methoxy-N-[(3S)-2-oxo-1-[2-(1H-pyrrolo[3,2-
CN
    c]pyridin-1-yl)ethyl]-3-pyrrolidinyl]-, mono(trifluoroacetate) (9CI) (CA
    INDEX NAME)
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CM 1

CRN 209285-46-1 C24 H24 N4 O4 S CMF

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 209285-74-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 6-chloro-N-[(3S)-1-[(4-chloro-1H-pyrrolo[3,2-c]pyridin-2-yl)methyl]-2-oxo-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 209285-75-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

RN 209285-77-8 CAPLUS

CN 2-Naphthalenesulfonamide, 7-methoxy-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]-, mono(trifluoroacetate) (9CI) (CAINDEX NAME)

CM 1

CRN 209285-76-7 CMF C23 H22 N4 O4 S

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 209285-81-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 209285-80-3 CMF C20 H17 Cl N4 O3 S2

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 209285-82-5 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

RN 209285-83-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 209285-82-5 CMF C20 H17 Cl N4 O3 S2

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 209285-84-7 CAPLUS

CN Thieno[3,2-b]pyridine-2-sulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

RN 209285-85-8 CAPLUS

CN Thieno[3,2-b]pyridine-2-sulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 209285-84-7 CMF C19 H17 N5 O3 S2

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 251936-19-3 CAPLUS

CN [2,2'-Bithiophene]-5-sulfonamide, 5'-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251936-23-9 CAPLUS

CN Ethenesulfonamide, 2-(5-chloro-2-thienyl)-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 251937-72-1 CAPLUS

CN Thieno[3,2-b]pyridine-2-sulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

RN 251937-73-2 CAPLUS

CN 2-Thiophenesulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]-5-(2-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-74-3 CAPLUS

CN Thieno[3,2-b]pyridine-2-sulfonamide, 5-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-75-4 CAPLUS

CN Thieno[2,3-b]pyridine-2-sulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

RN 251937-76-5 CAPLUS

CN 2-Thiophenesulfonamide, 5-(3-isoxazolyl)-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-77-6 CAPLUS

CN Thieno[2,3-b]pyridine-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-78-7 CAPLUS

CN [2,2'-Bithiophene]-5-sulfonamide, 5'-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-79-8 CAPLUS

CN Benzenesulfonamide, 4-(1,1-dimethylethyl)-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-80-1 CAPLUS

CN Thieno[3,2-c]pyridine-2-sulfonamide, 4-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-81-2 CAPLUS

CN 2-Thiophenesulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)

RN 251937-82-3 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-83-4 CAPLUS

CN Thieno[2,3-c]pyridine-2-sulfonamide, 7-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

RN 251937-84-5 CAPLUS

CN 1H-Benzimidazole-2-sulfonamide, 5-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-85-6 CAPLUS

CN Thieno[3,2-b]pyridine-2-sulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-86-7 CAPLUS

CN 2-Thiophenesulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-5-(2-pyridinyl)- (9CI) (CA INDEX NAME)

RN 251937-87-8 CAPLUS

CN Thieno[3,2-b]pyridine-2-sulfonamide, 5-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-88-9 CAPLUS

CN Thieno[2,3-b]pyridine-2-sulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-89-0 CAPLUS

CN

2-Thiophenesulfonamide, 5-(3-isoxazolyl)-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-90-3 CAPLUS

CN Thieno[2,3-b]pyridine-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-91-4 CAPLUS

CN Benzenesulfonamide, 4-(1,1-dimethylethyl)-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-92-5 CAPLUS

CN Thieno[3,2-c]pyridine-2-sulfonamide, 4-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

RN 251937-93-6 CAPLUS

CN 2-Thiophenesulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-94-7 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-95-8 CAPLUS

CN Thieno[2,3-c]pyridine-2-sulfonamide, 7-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-96-9 CAPLUS

CN 1H-Benzimidazole-2-sulfonamide, 5-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-97-0 CAPLUS

CN 2-Thiophenesulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-5-(2-pyridinyl)- (9CI) (CA INDEX NAME)

RN 251937-98-1 CAPLUS

CN Thieno[3,2-b]pyridine-2-sulfonamide, 5-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251937-99-2 CAPLUS

CN Thieno[2,3-b]pyridine-2-sulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251938-00-8 CAPLUS

CN 2-Thiophenesulfonamide, 5-(3-isoxazolyl)-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251938-01-9 CAPLUS

CN Thieno[2,3-b]pyridine-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251938-02-0 CAPLUS

CN [2,2'-Bithiophene]-5-sulfonamide, 5'-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251938-03-1 CAPLUS

CN Benzenesulfonamide, 4-(1,1-dimethylethyl)-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

RN 251938-04-2 CAPLUS

CN Thieno[3,2-c]pyridine-2-sulfonamide, 4-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251938-05-3 CAPLUS

CN 2-Thiophenesulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251938-06-4 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 5-chloro-3-methyl-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

RN 251938-07-5 CAPLUS

CN Thieno[2,3-c]pyridine-2-sulfonamide, 7-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251938-08-6 CAPLUS

CN 1H-Benzimidazole-2-sulfonamide, 5-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

RN 251938-35-9 CAPLUS

CN 2-Pyrrolidinone, 1-[(4-amino-7-quinazolinyl)methyl]-3-[(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)amino]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 251938-38-2 CAPLUS

CN Ethenesulfonamide, 2-(5-chloro-2-thienyl)-N-[(3R)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 251938-39-3 CAPLUS

CN Glycine, N-[[2-(5-chloro-2-thienyl)ethenyl]sulfonyl]-N-[(3R)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

RN 251938-46-2 CAPLUS

CN Thieno[3,2-b]pyridine-2-sulfonamide, N-[(3R)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 251938-45-1 CMF C19 H17 N5 O3 S2

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 1998:402310 CAPLUS

DOCUMENT NUMBER: 129:81744

TITLE: Preparation of sulfonic acid or sulfonylamino

N-(heteroaralkyl)-azaheterocyclylamide compounds as

inhibitors of factor Xa

INVENTOR(S): Choi-Sledeski, Yong Mi; Pauls, Henry W.; Barton,

Jeffrey N.; Ewing, William R.; Green, Daniel M.;

Becker, Michael R.; et al.

PATENT ASSIGNEE(S): Rhone-Poulenc Rorer Pharmaceuticals Inc., USA;

Choi-Sledeski, Yong Mi; Pauls, Henry W.; Barton,

Jeffrey N.; Ewing, William R.; Green, Daniel M.

SOURCE: PCT Int. Appl., 116 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

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PATENT NO.
                  KIND DATE
                                      APPLICATION NO. DATE
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                                       WO 1997-US22406 19971203
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                                     WO 1999-US12312 A2 19990603
                                     US 1999-453307 A3 19991202
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OTHER SOURCE(S): MARPAT 129:81744

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AΒ The compds. of formula [I; Ar1 = a bicyclic heteroaryl contg. .gtoreq.1 N atom; Z = alkenyl; R1 = H, (un)substituted alkyl, aralkyl, or heteroalkyl, hydroxyalkyl, carboxy alkyl, carbamoylalkyl, aminoalkyl, etc.; R2 = R3S(O)p, R3R4NS(O)p; R3 = (un)substituted alkyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, aralkyl, heteroaralkyl, aralkenyl, heteroaralkenyl; or R1 and R3 taken together with N(O)p or NS(O)pNR4 through which R1 and R3 are linked from a 5 to 7 membered (un) substituted heterocyclyl; wherein p = 1, 2; R4 = (un) substituted alkyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, etc.; X1, X1a = H, (un)substituted alkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl; or X and X1a are taken together to form oxo; X3 = H, OH, (un) substituted alkyl, aryl, heteroaryl, aralkyl, or heteroaralkyl; or X3 or one of X1 and X1a taken together form a 4 to 7 membered cycloalkyl; X5, X5a, X5b = H, (un)substituted NH2, HONH, alkoxyamino, NHNH2, (un) substituted OH, CONH2 or SO2NH2, halo, cyano, NO2, etc.; one of X5, X5a, and X5a = H, HO or (H, optionally substituted lower alkyl, hydroxy, alkoxy, or amino)NH that substitutes the distal ring of Arl at a position alpha to a nitrogen thereof] herein exhibit useful pharmacol. activity and accordingly are incorporated into pharmaceutical compns. and used in the treatment of patients suffering from certain medical disorders. More specifically, they are inhibitors of the activity of Factor Xa. The present invention is directed to compds. of formula I, compns. contg. compds. of formula I, and their use, which are for treating a patient suffering from, or subject to, physiol. condition (disorder) which can be ameliorated by the administration of an inhibitor of the activity of Factor Xa. The physiol. disorder is venous vasculature, arterial vasculature, abnormal thrombus formation, acute myocardial infarction, unstable angina, thromboembolism, acute vessel closure assocd. with thrombolytic therapy, percutaneous transluminal coronary angioplasty, transient ischemic attacks, stroke, intermittent claudication or bypass grafting of the coronary or peripheral arteries, vessel luminal narrowing, restenosis post-coronary or venous angioplasty, maintenance of vascular access patency in long-term hemodialysis patients, pathol. thrombus formation occurring in the veins of the lower extremities following abdominal, knee and hip surgery, a risk of pulmonary thromboembolism, or

disseminated systemic intravascular coagulopathy occurring in vascular systems during septic shock, certain viral infections and cancer. Thus, 3-(S)-amino-1-(6-amino-1-chloroisoquinolin-7-ylmethyl)pyrrolidin-2-one was coupled with 7-methoxynaphthalene-2-sulfonyl chloride followed by amination with ammonium acetate in PhOH at 115.degree. for 2 h gave the title compd., N-[N-(isoquinolinylmethyl)oxopyrrolidinyl]naphthalenesulfona mide (II.CF3CO2H). II.CF3CO2H in vitro inhibited factor Xa, thrombin, trypsin, tissue-plasminogen activator (t-PA), plasmin and activated protein C with Ki value of 80 nM.

IT 209285-47-2P 209285-74-5P 209285-75-6P 209285-77-8P 209285-81-4P 209285-83-6P 209285-85-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of sulfonic acid or sulfonylamino N-(heteroaralkyl)-azaheterocyclylamide compds. as inhibitors of factor Xa)

RN 209285-47-2 CAPLUS

CN 2-Naphthalenesulfonamide, 7-methoxy-N-[(3S)-2-oxo-1-[2-(1H-pyrrolo[3,2-c]pyridin-1-yl)ethyl]-3-pyrrolidinyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 209285-46-1 CMF C24 H24 N4 O4 S

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 209285-74-5 CAPLUS

N Benzo[b]thiophene-2-sulfonamide, 6-chloro-N-[(3S)-1-[(4-chloro-1H-pyrrolo[3,2-c]pyridin-2-yl)methyl]-2-oxo-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

RN 209285-75-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 209285-77-8 CAPLUS

CN 2-Naphthalenesulfonamide, 7-methoxy-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 209285-76-7 CMF C23 H22 N4 O4 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 209285-81-4 · CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[3,2-b]pyridin-2-ylmethyl)-3-pyrrolidinyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 209285-80-3 CMF C20 H17 Cl N4 O3 S2

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 209285-83-6 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 6-chloro-N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 209285-82-5 CMF C20 H17 Cl N4 O3 S2

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 209285-85-8 CAPLUS
CN Thieno[3,2-b]pyridine-2-sulfonamide, N-[(3S)-2-oxo-1-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-3-pyrrolidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 209285-84-7 CMF C19 H17 N5 O3 S2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

Absolute stereochemistry.

RN 209286-51-1 CAPLUS
CN 2-Pyrrolidinone, 3-amino-1-[2-(1H-pyrrolo[3,2-c]pyridin-1-yl)ethyl]-,
(3S)-, monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 209286-50-0

CMF C13 H16 N4 O

Absolute stereochemistry.

CM 2

CRN 64-19-7 CMF C2 H4 O2

RN 209286-82-8 CAPLUS

CN Carbamic acid, [(3S)-1-[[4-chloro-1-(phenylsulfonyl)-1H-pyrrolo[3,2-c]pyridin-2-yl]methyl]-2-oxo-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 209286-84-0 CAPLUS

CN Benzo[b]thiophene-2-sulfonamide, 6-chloro-N-[(3S)-1-[[4-chloro-1-(phenylsulfonyl)-1H-pyrrolo[3,2-c]pyridin-2-yl]methyl]-2-oxo-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

RN 209286-89-5 CAPLUS

CN Carbamic acid, [(3S)-1-[[5-chloro-1-(phenylsulfonyl)-1H-pyrrolo[3,2-b]pyridin-2-yl]methyl]-2-oxo-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 209286-91-9 CAPLUS

CN 2-Naphthalenesulfonamide, N-[(3S)-1-[[5-chloro-1-(phenylsulfonyl)-1H-pyrrolo[3,2-b]pyridin-2-yl]methyl]-2-oxo-3-pyrrolidinyl]-7-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 209286-92-0 CAPLUS

CN 2-Naphthalenesulfonamide, N-[(3S)-1-[(5-chloro-1H-pyrrolo[3,2-b]pyridin-2-yl)methyl]-2-oxo-3-pyrrolidinyl]-7-methoxy- (9CI) (CA INDEX NAME)

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(FILE 'HOME' ENTERED AT 14:39:27 ON 19 SEP 2002)

FILE 'REGISTRY' ENTERED AT 14:39:33 ON 19 SEP 2002

L1 STRUCTURE UPLOADED

L2 0 S L1

L3 0 S L1 FUL

L4 11495 S PYRROLO AND PYRIDIN

L5 STRUCTURE UPLOADED

L6 50 S L5

L7 64 S L5 FUL SUB=L4

FILE 'CAPLUS' ENTERED AT 14:42:10 ON 19 SEP 2002

L8 7 S L7

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COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
31.52
321.05

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION

CA SUBSCRIBER PRICE -4.34 -4.34

STN INTERNATIONAL LOGOFF AT 14:43:30 ON 19 SEP 2002